

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
26 February 2004 (26.02.2004)

PCT

(10) International Publication Number
WO 2004/016588 A1

(51) International Patent Classification⁷: **C07D 207/36**,
207/44, 307/58, C08F 224/00, A61K 31/4015, 31/341,
7/16, A61P 31/00, 33/00, 17/10, A01N 43/08, 43/36,
A61L 12/14, B08B 17/02

(74) Agent: F.B. RICE & CO.; 139-141 Rathdowne Street,
Carlton, Victoria 3053 (AU).

(21) International Application Number:
PCT/AU2003/001053

(22) International Filing Date: 19 August 2003 (19.08.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
2002950862 19 August 2002 (19.08.2002) AU

(81) Designated States (*national*): AE, AG, AL, AM, AT, AU,
AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU,
CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW,
MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC,
SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA,
UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (*regional*): ARIPO patent (GH, GM,
KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW),
Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),
European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE,
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO,
SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM,
GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

(71) Applicant (*for all designated States except US*): BIOSIG-
NAL PTY LTD [AU/AU]; Rupert Myers Building, Gate
14, Barker Street, UNSW, Sydney, New South Wales 2052
(AU).

(72) Inventor; and

(75) Inventor/Applicant (*for US only*): KUMAR, Naresh
[AU/AU]; 33 White Avenue, Maroubra, New South Wales
2035 (AU).

Published:

— with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: FURANONE DERIVATIVES AND METHODS OF MAKING SAME

(57) Abstract: Novel synthesis methods, to the products of such novel methods, and to uses of these products. In particular, the present invention provides methods for the reactions of furanones, in particular fimbrolides, with amines. The invention has particular application in the synthesis of halogenated 1,5-dihydro-pyrrol-2-one, 5-halomethylene substituted 1,5-dihydropyrrol-2-ones (lactam analogues of fimbrolides), 5-amino substituted furanones and 5-aminomethylene-2(5H)-furanones and their synthetic analogues. The invention also relates to novel compounds and uses thereof.



WO 2004/016588 A1

INTERNATIONAL SEARCH REPORT

International application No.

PCT/AU03/01053

A. CLASSIFICATION OF SUBJECT MATTER

Int. Cl. ⁷: C07D 207/36, 207/44, 307/58; C08F 224/00; A61K 31/4015, 31/341, 7/16; A61P 31/00, 33/00, 17/10; A01N 43/08, 43/36; A61L 12/14; B08B 17/02

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
See electronic databases

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)
STN: CA (sub-structure search based on specific examples); WPIDS, CA (fimbrolide)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 02 00639 (Unisearch Limited) 3 January 2002 Especially compound 4n on page 13 and page 20 lines 17-23	34, 35, 41-57, 71-92, 99-113
X	WO 96/01294 (Unisearch Limited) 18 January 1996 Especially compound 6 in Figure 3 and page 2 line 27-page 3 line 3	34, 35, 44-57, 71-92, 99-113
X	US 3755356 (Siddall) 28 August 1973 Especially examples 6 and 8	18

☒ Further documents are listed in the continuation of Box C☒ See patent family annex

* Special categories of cited documents:

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier application or patent but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art

"&" document member of the same patent family

Date of the actual completion of the international search
31 October 2003

Date of mailing of the international search report
- 7 NOV 2003

Name and mailing address of the ISA/AU
AUSTRALIAN PATENT OFFICE
PO BOX 200, WODEN ACT 2606, AUSTRALIA
E-mail address: pct@ipaustalia.gov.au
Facsimile No. (02) 6285 3929

Authorized officer

O.L. CHAI

Telephone No : (02) 6283 2482

INTERNATIONAL SEARCH REPORT

International application No.

PCT/AU03/01053

C (Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	STN file CA 99:158169 & Anselmi C. et al., Journal of Heterocyclic Chemistry, 1983, 20(3), 687-689 Abstract and CAS Registration Number 87253-32-5	18
X	STN file CA 77:19132 & Kalina I., and Gudriniece E.; Latvijas PSR Zinatnu Akademijas Vestis, Kimijas Serija; 1972, 1, 110-113 Abstract and CAS Registration Numbers 36600-49-4, 36600-52-9, 36600-55-2, 36600-58-5, 36600-50-7, 36600-53-0, 36600-59-6, 36600-51-8, 36600-54-1, 36637-28-2	- 18, 24, 28, 29
X	STN file CA 95:61900 & Von Dobeneck H. et al., Liebigs Annalen der Chemie, 1981, 3, 410-424 Abstract and CAS Registration Number 77979-76-8	28, 29
X	STN file CA 130:89588 & Mitzutani T. et al., J. Org. Chem., 1998, 63(24), 8769-8784 Abstract and CAS Registration Numbers 218774-41-5, 218774-48-2	28, 29
X	STN file CA 131:328105 & Mizutani T. et al., Supramolecular Chemistry, 1999, 10(4), 297-308 Abstract and CAS Registration Number 248249-05-0	28, 29
X	STN file CA 76:25015 & Treibs A. and Grimm D.; Justus Liebigs Annalen der Chemie, 1971, 752, 44-59 Abstract and CAS Registration Number 4064-10-2	28, 29
X	STN file CA 66:75860 & Von Dobeneck H. et al., Chemische Berichte, 1967, 100(2), 647-53 Abstract and CAS Registration Numbers 14313-01-2, 14398-89-1, 14398-90-4, 14398-91-5	28, 29
X	STN file CA 54:56384 & Treibs A., Ann, 1959, 627, 182-194 Abstract and CAS Registration Numbers 108397-78-0, 4064-10-2	28, 29

INTERNATIONAL SEARCH REPORT

International application No.

PCT/AU03/01053

C (Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	STN file CA 63:54028 & Atkinson J. H. et al., J. Chem. Soc., Supp., 1964, 5999-6009 Abstract and CAS Registration Number 4064-10-2	28, 29

INTERNATIONAL SEARCH REPORT

International application No.

PCT/AU03/01053

Box I Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)

This international search report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos :
because they relate to subject matter not required to be searched by this Authority, namely:
2. ☒ Claims Nos : 1-117 (in part)
because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:
A full search of the compounds defined within the claims was not feasible for economic reasons.
Consequently, the search was restricted to the specific compound examples listed in the application.
3. ☐ Claims Nos :
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a)

Box II Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No. 92/00000

PCT/AU03/01053

This Annex lists the known "A" publication level patent family members relating to the patent documents cited in the above-mentioned international search report. The Australian Patent Office is in no way liable for these particulars which are merely given for the purpose of information.

Patent Document Cited in Search Report		Patent Family Member					
WO	0206639	AU	70788/01	EP	1301692	GB	2364745
		US	2002117133				
WO	9601294	AU	28750/95	CA	2192955	CN	1156471
		EP	0769039	HK	1001287	NZ	289025
		US	6060046				
US	3755356	GB	1317623	GB	1317624	US	3631080
		US	3888893				
END OF ANNEX							